=> fil reg_

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FILE COVERS 1907 - 6 Jul 2005 VOL 143 ISS 2 FILE LAST UPDATED: 5 Jul 2005 (20050705/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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STR



VAR G1=OH/SH/10/12 VPA 14-3/4 U NODE ATTRIBUTES: CONNECT IS E2 RC AT

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L9 157 SEA FILE=REGISTRY SSS FUL L7

L10 42875 SEA FILE=HCAPLUS ABB=ON PLU=ON PEPTIDES+PFT,NT/CT(L)PREP+NT/R

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12 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L10 T.11.

=> d l11 ibib abs hitind hitstr 1-12 ,

L11 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:644226 HCAPLUS

DOCUMENT NUMBER:

139:307988

TITLE:

Direct peptide coupling of novel amino acid

derivatives produced by rearrangement of catalytically

generated ammonium ylides

Clark, J. Stephen; Middleton, Mark D. AUTHOR(S):

University of Nottingham, School of Chemistry, CORPORATE SOURCE:

University Park, Nottingham, NG7 2RD, UK

Tetrahedron Letters (2003), 44(37), 7031-7034SOURCE: CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

Journal DOCUMENT TYPE: LANGUAGE: English

CASREACT 139:307988 OTHER SOURCE(S):

AB Protected amino acids can be prepared from substrates in which a diazo ester is aryl-tethered to an allylic amine, by catalytic intramol ammonium ylide generation and [2,3] rearrangement. When the aryl tether is sufficiently electron-deficient, direct coupling of the rearrangement product with a hindered amino acid ester to give a dipeptide is possible, and ammonium ylide generation, rearrangement and peptide coupling can be accomplished in a one-pot fashion.

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 27

IT Dipeptides

RL: SPN (Synthetic preparation); PREP (Preparation)

(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

IT 68-12-2, Dimethylformamide, reactions 97-51-8 100-46-9, Benzylamine, reactions 106-95-6, Allyl bromide, reactions 496-69-5, 2-Bromo-4-fluorophenol 2491-20-5, Alanine methyl ester hydrochloride 4070-48-8 6306-52-1, Valine methyl ester hydrochloride 13515-97-4, Glycine methyl ester hydrochloride 57072-87-4, Ethyl diazomalonyl chloride 147666-20-4, Succinimidyl diazoacetate RL: RCT (Reactant); RACT (Reactant or reagent)

(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

IT 97-51-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:501665 HCAPLUS

DOCUMENT NUMBER: 139:197756

TITLE: Difficult Macrocyclizations: New Strategies for

Synthesizing Highly Strained Cyclic Tetrapeptides
AUTHOR(S): Meutermans, Wim D. F.; Bourne, Gregory T.; Golding,

Simon W.; Horton, Douglas A.; Campitelli, Marc R.;

Craik, David; Scanlon, Martin; Smythe, Mark L.

CORPORATE SOURCE: Institute for Molecular Bioscience, University of

Queensland, St. Lucia, 4072, Australia SOURCE: Organic Letters (2003), 5(15), 2711-2714

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:197756

AB To synthesize highly strained cyclic tetrapeptides, the authors developed a macrocyclization strategy that involves the inclusion of 2-hydroxy-6-nitrobenzyl (HnB) as an N-protective group at the N-terminus

and in the "middle" of the sequence. The N-terminal auxiliary performs a ring closure/ring contraction role, and the backbone auxiliary promotes cis amide bonds to facilitate the otherwise difficult ring contraction. Following this route, the all-L cyclo[Tyr-Arg-Phe-Ala] was successfully prepared

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT Peptides, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)
(cyclic; preparation of highly strained cyclic tetrapeptides via
cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl
groups)

IT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of highly strained cyclic tetrapeptides via cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl groups)

IT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of highly strained cyclic tetrapeptides via cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl groups)

RN 16855-08-6 HCAPLUS

CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:236013 HCAPLUS

DOCUMENT NUMBER: 139:101401

DOCUMENT NUMBER: 139:101401

TITLE: Chemoselective peptide bond formation using

formyl-substituted nitrophenylthio ester

AUTHOR(S): Ishiwata, Akihiro; Ichiyanagi, Tsuyoshi; Takatani,

Maki; Ito, Yukishige

CORPORATE SOURCE: RIKEN (The Institute of Physical and Chemical

Research), Wako-shi, Saitama, 351-0198, Japan Tetrahedron Letters (2003), 44(15), 3187-3190

SOURCE: Tetrahedron Letters (2003), 44 CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:101401

AB A novel method for peptide bond formation utilizing amino acid 2-formyl-4-nitrophenylthio ester has been developed. The reaction can be performed in water-containing media and is compatible with various types of amino acid side-chain functional groups. Use of N-methylmaleinimide as an additive is essential for the reaction to proceed with high efficiency. It captures liberated formyl-substituted thiophenol through 1,4-addition followed by aidol cyclization.

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT Peptides, preparation

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(oligopeptides; preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

IT Glycopeptides

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

IT 23081-05-2P 288144-40-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

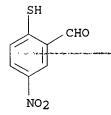
IT 23081-05-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

RN 23081-05-2 HCAPLUS

CN Benzaldehyde, 2-mercapto-5-nitro-, sodium salt (8CI, 9CI) (CA INDEX NAME)



Na

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:46815 HCAPLUS

DOCUMENT NUMBER:

137:185770

TITLE:

2-Hydroxy-6-nitrobenzaldehyde (Hnb): a generic acyl

transfer auxiliary for peptide synthesis

AUTHOR(S):

Miranda, Les; Meutermans, W.; Smythe, M.; Alewood, P.

F.

CORPORATE SOURCE:

Department of Chemistry, Carlsberg Laboratory,

Copenhagen, Den.

SOURCE:

Innovation and Perspectives in Solid Phase Synthesis &

Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry

Diversity, Collected Papers, International Symposium, 6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001)

), Meeting Date 1999, 47-50. Editor(s): Epton, Roger. Mayflower

Scientific Ltd.: Kingswinford, UK. CODEN: 69CEGV; ISBN: 0-9515735-3-5

DOCUMENT TYPE: Conference; General Review

LANGUAGE:

English

A review with refs. A novel acyl transfer auxiliary, 2-hydroxy-6nitrobenzaldehyde (Hnb), is used to facilitate efficient $O\rightarrow N$ acyl transfer, especially with β -branched amino acids during peptide synthesis. Incorporation of the $N\alpha$ -Hnb auxiliary is achieved by reductive amination during solid-phase peptide synthesis, and it is subsequently removed by mild photolysis. The Hnb auxiliary is expected to enhance the synthesis of "difficult" peptides sequences, and it may also prove to be useful for peptide cyclization, ligation, solubilization or amide-protection in solid-phase organic synthesis.

CC 34-0 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 25

IT Peptides, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)

(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

ΙT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

IT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

RN 16855-08-6 HCAPLUS

Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME) CN

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:556511 HCAPLUS

DOCUMENT NUMBER:

133:267142

TITLE:

An Activated O → N Acyl Transfer Auxiliary:

Efficient Amide-Backbone Substitution of Hindered

"Difficult" Peptides

AUTHOR(S):

Miranda, Les P.; Meutermans, Wim D. F.; Smythe, Mark

CORPORATE SOURCE:

REFERENCE COUNT:

L.; Alewood, Paul F.

Centre for Drug Design and Development, The University Of Queensland, Brisbane, 4072, Australia

SOURCE:

Journal of Organic Chemistry (2000), 65(18), 5460-5468

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER:

American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S):

CASREACT 133:267142

Overcoming the phenomenon known as "difficult" synthetic sequences has been a major goal in solid-phase peptide synthesis for over 30 yr. In this work the advantages of amide backbone-substitution in the solid-phase synthesis of "difficult" peptides are augmented by developing an activated

 $N\alpha$ -acyl transfer auxiliary. Apart from disrupting troublesome intermol. hydrogen-bonding networks, the primary function of the activated $N\alpha$ -auxiliary was to facilitate clean and efficient acyl capture of large or β -branched amino acids and improve acyl transfer yields to the secondary Na-amine. We found o-hydroxyl-substituted nitrobenzyl (Hnb) groups were suitable $N\alpha$ -auxiliaries for this purpose. The relative acyl transfer efficiency of the Hnb auxiliary was superior to the 2-hydroxy-4-methoxybenzyl (Hmb) auxiliary with protected amino acids of varying size. Significantly, this difference in efficiency was more pronounced between more sterically demanding amino acids. The Hnb auxiliary is readily incorporated at the $N\alpha$ -amine during SPPS by reductive alkylation of its corresponding benzaldehyde derivative and conveniently removed by mild photolysis at 366 nm. The usefulness of the Hnb auxiliary for the improvement of coupling efficiencies in the chain-assembly of difficult peptides was demonstrated by the efficient Hnb-assisted Fmoc solid-phase synthesis of a known hindered difficult peptide sequence, STAT-91. This work suggests the Hnb auxiliary will significantly enhance our ability to synthesize difficult polypeptides and increases the applicability of amide-backbone substitution. 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 6 Amino acids, preparation

IT

Peptides, preparation

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as Nα-auxiliary)

97-51-8, 2-Hydroxy-5-nitrobenzaldehyde 147-93-3, Thiosalicylic acid 554-84-7 673-22-3, 2-Hydroxy-4-methoxybenzaldehyde 6361-21-3, 2-Chloro-5-nitrobenzaldehyde 29022-11-5, Fmoc-gly-oh 35661-39-3 35661-40-6 35661-60-0 68858-20-8 105708-55-2D, resin-bound 298679-85-3D, resin-bound 298679-86-4 298679-87-5 RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as Nα-auxiliary)

29199-11-9P, 2-Mercaptobenzaldehyde IT 16855-08-6P 55969-94-3P, 2-Mercapto-5-nitrobenzaldehyde 263144-06-5DP, resin-bound 263144-07-6DP, resin-bound 298679-81-9DP, resin-bound 298679-88-6DP, resin-bound 298679-89-7D2 resin-bound 298679-94-4DP, resin-bound 298679-89-7DP, resin-bound 298679-90-0DP, 298679-95-5DP, resin-bound 298679-96-6DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as $N\alpha$ -auxiliary)

IT 97-51-8, 2-Hydroxy-5-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as Na=auxiliary)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

IT 16855-08-6P 55969-94-3P, 2-Mercapto-5-nitrobenzaldehyde

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as Nα-auxiliary)

16855-08-6 HCAPLUS RN

CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)

RN 55969-94-3 HCAPLUS

Benzaldehyde, 2-mercapto-5-nitro- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:227674 HCAPLUS

DOCUMENT NUMBER:

132:265505

TITLE:

Solid phase synthesis of small cyclic peptides via

on-resin cyclization

INVENTOR(S):

Smythe, Mark Leslie; Meutermans, Wim Denise Frans

and the second of the second o

The University of Queensland, Australia

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 84 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                      KIND
                             DATE
                                       APPLICATION NO.
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    WO 2000018789
                             20000406 WO 1999-AU812
                       A1
                                                             19990924
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
           CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2345067
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                        AA
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                        A1
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    AU 768649
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                              20031218
    EP 1115739
                      · A1
                              20010718
                                       EP 1999-950390
                                                              19990924
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                       T2
                              20020813
                                         JP 2000-572247
    JP 2002525376
                                                              19990924
PRIORITY APPLN. INFO.:
                                         AU 1998-6165
                                                          A 19980925
                                         WO 1999-AU812
                                                           W 19990924
                       CASREACT 132:265505; MARPAT 132:265505
OTHER SOURCE(S):
    This invention relates to novel auxiliaries for the formation of amide
    bonds, and to the use of these auxiliaries in a variety of synthetic
    applications, such as the synthesis of peptides and peptidomimetic
    compds., and in particular for the synthesis of "small cyclic peptides",
    so-called "difficult" peptide sequences, and large peptides with a native
    peptide backbone. The auxiliaries of the invention are also useful in the
    synthesis of peptides or of C-terminal modified peptides, and in on-resin
    cyclization of organic mols., ligating chemical, backbone substitution and as
backbone linkers. In a particularly preferred embodiment, the invention
    provides auxiliaries which can be removed by photolysis. Methods of
    synthesis of a linear or cyclic peptide, a C-terminal modified peptide, or
    of on-resin cyclization of a peptide mol., comprising the step of linking
    an amine nitrogen atom to an auxiliary compound of the invention, specific
    auxiliary compds., which may optionally be linked to a solid support, and
    kits for synthesis are disclosed and claimed. Thus, cyclo-[Ala-Phe-Leu-
    Pro-Ala] was prepared via on. resin cyclization reaction.
IC
    ICM C07K001-02
    ICS C07K001-04; C07K001-107
    34-3 (Amino Acids, Peptides, and Proteins)
CC
IT
    Peptides, preparation
    RL: IMF (Industrial manufacture); SPN (Synthetic
    preparation); PREP (Preparation)
       (cyclic; solid phase synthesis of small cyclic peptides via on-resin
       cyclization)
IT
    97-51-8
             1694-92-4 16855-08-6 23081-03-0
    35661-39-3D, trityl resin bound 89040-08-4
                                                252667-07-5D, resin bound
    252667-11-1 263144-02-1 263144-04-3D, resin bound 263144-05-4D,
    resin bound 263144-25-8 263144-26-9 263144-27-0
                                                        263144-28-1
263144-35-0 263144-36-1 263144-37-2
                                           263144-38-3
    RL: RCT (Reactant); RACT (Reactant or reagent)
       (solid phase synthesis of small cyclic peptides via on-resin
       cyclization)
IT
    97-51-8 16855-08-6
    RL: RCT (Reactant); RACT (Reactant or reagent)
       (solid phase synthesis of small cyclic peptides via on-resin
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cyclization)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

он сно

RN 16855-08-6 HCAPLUS

CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)

oH eHo No₂

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1996:313502 HCAPLUS

DOCUMENT NUMBER:

124:344131

TITLE:

Preparation of high affinity chelates containing isothiocyanate groups, useful or coupling with

peptides and proteins

INVENTOR(S):

Flanagan, Richard J.; Duforur, Jean-Marc; Hogan, Keith

T.; Charleson, F. Peter

PATENT ASSIGNEE(S):

Merck Frosst Canada Inc., Can.

SOURCE:

Can. Pat. Appl., 28 pp.

CODEN: CPXXEB

DOCUMENT TYPE:

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1

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2154214	AA	19960129	CA 1995-2154214	19950719
US 5539138	Α	19960723	US 1994-281905	19940728
PRIORITY APPLN. INFO.:			US 1994-281905 A	19940728
GT				

The ligands HBED-SCN (I; R = isothiocyanato, HBED = hydroxybenzylethylenediamine-diacetic acid), HBPD-SCN (II; HBPD = hydroxybenzylpropylenediamine-diacetic acid), and HTDD-SCN (III; HTDD = hydroxybenzyl-ethylenetriamine-diacetic acid), which have higher affinity and allow simple and stoichiometric coupling with peptides or proteins, e.g. at pH 8.5 in aqueous solution, and are suitable for chelating with radiopharmaceutical metallic isotopes, especially 111In and 67Ga, in imaging and

treating tumors (no data), are prepared Thus, 3.06 g Nacetylethylenediamine in benzene and MeOH was added slowly to a solution of 3.2 mL salicylaldehyde in benzene and the resulting mixture was refluxed for 48 h with removal of water by a Dean-Stark apparatus to give 6.11 g N-(2-hydroxybenzylidene)-N'-acetylethylenediamine, which (3.98 g) was dissolved in EtOH, treated with NaBH4, and stirred at room temperature for 17 h to give 4.4 g N-(2-hydroxybenzyl)-N'-acetylethylenediamine. This compound was refluxed in 6 N aqueous HCl for 24 h to give N-(2hydroxybenzyl)ethylenediamine, which (1.66 g) was similarly condensed with 1.67 g 5-nitrosalicylaldehyde in refluxing benzene containing a few drops of MeOH to give 2.30 g of the Schiff base N-(2-hydroxy-3-nitrobenzylidene)-N'-(2-hydroxybenzyl)ethylenediamine, which (2.30 g) was similarly reduced by NaBH4 in EtOH to give 2.15 g N-(2-hydroxy-5-nitrobenzyl)-N'-(2hydroxybenzyl)ethylenediamine. The latter compound (360 mg), 10 mL H2O, and 340 mg α -bromoacetic acid were treated with 2 mL 5.4 N aqueous NaOH and stirred at room temperature for 18 h to give 341 g I (R = NO2), which (233 g) was dissolved in MeOH and hydrogenated in the presence of 5% Pd-C at hydrogen pressure 47 psi for 195 min, and filtered to give a solution of the ___amine_I_(R = NH2)___The above solution was treated with a 0.21 M solution of SOC12 in CH2C12 (2.43 L) and stirred for 1 h to give the title compound I (R = isothiocyanato), which (12.1 mg) was stirred with atrial natriuretic peptide (PANP101-126) in bicarbonate/phosphate buffer (0.2 M, pH 8.5, 400 µL) and 500 µL DMSO to give, after HPLC purification, a HBED-ANP conjugate. The latter conjugate (5 µg in 5 µL Millex water), a

solution of 1 μ L 111InCl3 in 10 μ L Millex water, and citrate buffer (20 μ L, pH 7.6) were incubated for 30 min to give, after chromatog. purification using a PRP-1 solid phase extractor, 111IN-HBED-ANP complex.

IC ICM C07C331-28

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 9

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of high affinity chelates containing isothiocyanate groups, atrial

natriuretic peptide-chelate complexes, and its 111In complex for imaging and treating tumors)

79-08-3, α -Bromoacetic acid 85-44-9, 1,3-Isobenzofurandione IT 90-02-8, Salicylaldehyde, reactions 97-51-8, 5-Nitrosalicylaldehyde 100-11-8, p-Nitrobenzyl bromide 109-76-2, 1,3-Diaminopropane 111-40-0, Diethylenetriamine 141-78-6, Acetic acid 463-71-8, Carbonothioic dichloride 1001-53-2, ethyl ester, reactions N-Acetylethylenediamine 5437-45-6, Benzyl bromoacetate 50800-85-6, 90984-99-9 90984-99-9D, conjugates with Indium-111 trichloride isocyanatohydroxybenzyl(ethylenediamine, propylenediamine, or diethylenetriamine)diacetic acid or 111-In complex

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of high affinity chelates containing isothiocyanate groups,

atrial

natriuretic peptide-chelate complexes, and its 111In complex for imaging and treating tumors)

IT 97-51-8, 5-Nitrosalicylaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of high affinity chelates containing isothiocyanate groups, atrial

natriuretic peptide-chelate complexes, and its 111In complex for imaging and treating tumors)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

L11 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:762793 HCAPLUS

DOCUMENT NUMBER:

123:340919

TITLE:

Kinetic study of conformational transition accompanied

by isomerization of spiropyrans bound to

anga kumagan ni samangayang atawa sa mada manda sa sambakan in miligi i kulon atawa mandang intagan kanga dantay di tagan mada samba da samb

poly(L-glutamic acid) side chains

AUTHOR(S):

Katayama, Ikuo; Tezuka, Yoshihiko; Yajima, Hirofumi;

Ishii, Tadahiro

CORPORATE SOURCE:

Faculty of Science, Science University of Tokyo,

Tokyo, 162, Japan

SOURCE:

Journal of Photopolymer Science and Technology (1995),

8(1), 65-74

CODEN: JSTEEW; ISSN: 0914-9244

PUBLISHER: Technical Association of Photopolymers, Japan

DOCUMENT TYPE:

Journal English

LANGUAGE:

GΙ

Kinetic studies have been made of the conformational transition of the AB backbone of spiropyran-modified poly(L-glutamic acid) (PSLG) from α -helix to radom coil, accompanied by the isomerization of spiropyrans in the side chains from spiropyran (SP) form I to merocyanine (MC) form II in hexafluoro-2-propanol in dark adaptation after visible light irradiation by absorption and CD spectra. The SP \rightarrow MC isomerization in PSLG deviated from first-order kinetics in the earlier stage, where the α -helix \rightarrow random coil transition of the backbone correlatively occurred. Then, the isomerization proceeded in first-order kinetics under the conformational state of the backbone merely in random coil. The kinetic analyses of the SP \rightarrow MC isomerization were carried out on the basis of a binary competitive reaction kinetics, in which two species of SPs bound to the side chains of local PSLG in the backbone conformations of α -helix and random coil, resp., are involved. As a result, it was derived that the rate of the SP \rightarrow MC isomerization in the a-helix backbone was appreciably smaller than that in the random coil backbone, and depended on the spiropyran content in PSLG, indicating that the isomerization rate for PSLG with a low spiropyran content of 0.15 was considerably smaller than that for PSLGs with higher spiropyran contents above 0.25. Moreover, the solvent effect on the isomerization rates has been investigated, using the mixed solvent of HFP/MeOH. Consequently, the anal. results led to the inference that the isomerization rates of the spiropyran side chains are governed by mol. conformations of PSLG.

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 22

16111-07-2DP, esters with polyglutamic acid side chains
24991-23-9DP, Poly(glutamic acid), SRU, side chain esters with
hydroxyethyl(nitro)spiro(benzopyranindoline) 25513-46-6DP,
Poly(glutamic acid), side chain esters with hydroxyethyl(nitro)spiro(benzo
pyranindoline) 93633-69-3DP, esters with polyglutamic acid side chains
155210-62-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP

(Preparation)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

IT 97-51-8, 5-Nitrosalicylaldehyde 624-76-0, 2-Iodoethanol

18781-58-3, 2,3,3-Trimethylindoline 24991-23-9, Poly(glutamic acid), SRU 25513-46-6, Poly(glutamic acid) 30924-93-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

IT 24991-23-9DP, Poly(glutamic acid), SRU, side chain esters with hydroxyethyl(nitro)spiro(benzopyranindoline) 25513-46-6DP,

Poly(glutamic acid), side chain esters with hydroxyethyl(nitro)spiro(benzo pyranindoline)

RL: PRP (Properties); SPN (Synthetic preparation); PREP

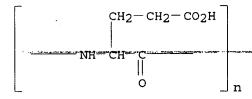
(Preparation)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

The control of the property of adjoing the property of the property of the property of the project of the project of

RN 24991-23-9 HCAPLUS

CN Poly[imino[(1S)-1-(2-carboxyethy1)-2-oxo-1,2-ethanediy1]] (9CI) (CA INDEX NAME)



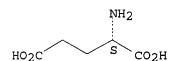
RN 25513-46-6 HCAPLUS

CN L-Glutamic acid, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.



IT **97-51-8**, 5-Nitrosalicylaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

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RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

L11 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1984:68742 HCAPLUS

DOCUMENT NUMBER:

100:68742

TITLE:

Aspartame

INVENTOR(S):

Gourbault, Maurice Jean; Chardin, Arlette; Dressaire

Gilles

PATENT ASSIGNEE(S):

Laboratoires Human Pharm S. A., Fr.

SOURCE:

Eur. Pat. Appl., 10 pp.

DOCUMENT TUDE

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
EP 91330	A1	19831012	EP 1983-400447	19830304		
R: AT, DE, GB,	NL, SE					
FR 2524877	A1	19831014	FR 1982-6066	19820407		
FR 2524877	В1	19850308				
BE 896362	A1	19831003	BE 1983-47806	19830401		
ES 521281	A1	19840616	ES 1983-521281	19830406		
PRIORITY APPLN. INFO.:			FR 1982-6066 A	19820407		
GI						

- As partame was prepared by coupling of N-protected aspartic acid with phenylalanine Me ester. Protection involved Schiff base formation with aromatic compds. I (R = H, alkyl; R1, R2 = H, halo, NO2; R1R2 = benzo). Thus, a mixture of aspartic acid, 2-hydroxyh-1-naphthaldehyde, Et3N, and KOH in MeOH was refluxed for 4 h to form the Schiff base. The latter was stirred with PCl3 in AcOEt-AcOH, phenylalanine Me ester in MeOH was added, and the mixture was stirred for 10-15 h to give 85% aspartame $(\alpha/\beta \text{ isomer ratio} = 4:1)$.
- IC C07C103-52
- CC 34-3 (Amino Acids, Peptides, and Proteins)
- IT 22839-47-0P 22839-61-8P

Ι

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 90-02-8, reactions

90-60-8 **97-51-8** 582-24-1 635-93-8

708-06-5 1450-74-4 1450-76-6 **2460-59-5** 3321-92-4

69027-37-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with aspartic acid)

IT 22839-47-0P

IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 22839-47-0 HCAPLUS

CN L-Phenylalanine, L- α -aspartyl-, 2-methyl ester (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

$$_{\mathrm{HO_{2}C}}$$
 $_{\mathrm{NH_{2}}}^{\mathrm{O}}$ $_{\mathrm{NH_{2}}}^{\mathrm{Ph}}$ $_{\mathrm{O}}^{\mathrm{OMe}}$

IT 97-51-8 2460-59-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with aspartic acid)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

RN 2460-59-5 HCAPLUS

CN Benzaldehyde, 2-hydroxy-3,5-dinitro- (9CI) (CA INDEX NAME)

L11 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1981:84473 HCAPLUS

DOCUMENT NUMBER:

94:84473

TITLE: Intramolecular O, N-acyl transfer via cyclic

intermediates of nine and twelve members. Models for extensions of the amine capture strategy for peptide

synthesis

AUTHOR(S): Kemp, D. S.; Kerkman, Daniel J.; Leung, See-Lap;

Hanson, Gunnar

CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge,

MA, 02139, USA

SOURCE: Journal of Organic Chemistry (1981), 46(3), 490-8

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE:

Journal English

GΙ

- AB Rate consts. were determined for the title acyl transfer in amino acid amides I [R = H, Me, CHMe2, R1 = Ac; R = H, R1 = Z-Gly (Z = PhCH2O2C), Z-Ala, Z-Val; R = CHMe2, R1 = Z-Ala] and II (R = H, Me, CHMe2) in CH3CN, Me2SO, and other solvents. The reaction proceeded via the title cyclic intermediates. Steric and solvent effects were determined The significance of this acyl transfer for amine capture strategy in peptide synthesis was discussed.
- CC 34-2 (Synthesis of Amino Acids, Peptides, and Proteins) Section cross-reference(s): 22

II

- IT Peptides, preparation
 - RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, intramol. $O \rightarrow N$ -acyl transfer reaction in relation to)

IT 97-51-8

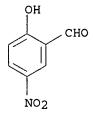
RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with benzaldehyde)

IT 97-51-8

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with benzaldehyde)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1975:443746 HCAPLUS

DOCUMENT NUMBER:

83:43746

TITLE:

Amino acid derivatives

INVENTOR(S):

Bodanszky, Miklos

PATENT ASSIGNEE(S):

E. R. Squibb and Sons, Inc., USA

SOURCE:

U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3880838	Α	19750429	US 1973-349502	19730409
PRIORITY APPLN. INFO.:			US 1965-451609	A2 19650428
			US 1969-798790	A2 19690212
			US 1970-98939	A3 19701216

GI For diagram(s), see printed CA Issue.

Treatment of amino acids with active carbonyl compds. gave Schiff's bases followed by cyclization to give lactones which were coupled with amino acid esters to yield peptides. Thus, L-phenylalanine reacted with 3-formyl-N-hydroxyphthalimide in refluxing THF containing EtOC.tplbond.CH for 1 hr to give I. Similarly L-alanine L-serine, L-glutamine, L-methionine reacted with 5-chlorosalicylaldehyde, α -formyl-N-hydroxysuccinimide, 4-acetyl-N-hydroxypiperidine, and 2,4-pentanedione.

IC C07D

INCL 260239300B

34-2 (Synthesis of Amino Acids, Peptides, and Proteins) Section cross-reference(s): 28

ITPeptides, preparation

RL: PREP (Preparation)

(from amino acids Schiff base lactone)

IT **97-51-8** 635-93-8 39508-63-9 39508-65-1

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with amino acids, lactones from)

TΤ 97-51-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with amino acids, lactones from)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

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CHO
NO2
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L11 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1973:58801 HCAPLUS

DOCUMENT NUMBER:

78:58801

TITLE:

Amino acid derivatives

INVENTOR(S):

Bodanszky, Miklos

PATENT ASSIGNEE(S):

E. R. Squibb and Sons, Inc.

in the countries of the second report of the contribution of the c

SOURCE:

U.S., 5 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
_								
U	JS 3704246	Α	19721128	US 1970-98924		19701216		
G	GB 1348512	Α	19740320	GB 1971-48031		19711015		
PRIORI	ITY APPLN. INFO.:			US 1970-98924 '	Α	19701216		
GI F	For diagram(s), see	printe	ed CA Issue.					
AB I	L-Leucine and 5-nit	rosalio	ylaldehyde f	ormed a Schiff base	whi	ch was		
C	cyclized by dicyclo	hexylca	rbodiimide t	o the lactone (I).	Асу	lation of		

glycine Et ester by I, followed by hydrolysis with dilute HCl, yielded Leu-Gly-OEt. 3-Formyl-N-hydroxyphthalimide, α -formyl-Nhydroxysuccinimide, 4-acetyl-N-hydroxypiperidine, and 2,4-pentanedione are reacted with amino acids and cyclized to give analogous activated lactones.

IC C07D

INCL 260333000

34-2 (Synthesis of Amino Acids, Peptides, and Proteins) Section cross-reference(s): 28 . Berginder territorial territoria (n. 1911) de la companio de la companio de la companio de la companio de la c

IT Peptides, preparation

RL: PREP (Preparation)

(activated amino acid lactones in relation to)

IT 97-51-8

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with leucine)

IT

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with leucine)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

Application

Kam 09/787,840

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:227674 HCAPLUS

DOCUMENT NUMBER:

132:265505

ENTRY DATE:

Entered STN: 07 Apr 2000

TITLE:

Solid phase synthesis of small cyclic peptides via

on-resin cyclization

INVENTOR(S):

Smythe, Mark Leslie; Meutermans, Wim Denise Frans

PATENT ASSIGNEE(S):

The University of Queensland, Australia

SOURCE:

PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

ABSTRACT:

English

INT. PATENT CLASSIF.:

MAIN:

C07K001-02

SECONDARY:

C07K001-04; C07K001-107

CLASSIFICATION:

34-3 (Amino Acids, Peptides, and Proteins)

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	rent :				KIN		DATE		APPLICATION NO.					DATE				
	2000						2000	0406							1	9990	924	<
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
								FI,										
								KR,										
								NZ,										
	•							UA,										
•								TM		•	•	•	•	•	•		·	
	RW:	GH,		•	•					TZ.	ŪĠ,	ZW,	AT,	BE,	CH,	CY,	DE,	
								ΙE,										
								ML,						•				
CA	2345														1:	9990	924	<
AII	9963 7686	49			B2		2003	1218			,	a	-					
EP	1115	739			A1		2001	0718		EP 1	999-	9503	90		1	9990	924	<
		AT,																
	•••		SI,					,	,	,	,	,	,	,	,	,	,	
.TD	2002							0813		TP 2	000-	5722	47		1	9990	924	<
PRIORIT																		
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PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2000018789	ICM	C07K001-02	
2000020,05	ICS	C07K001-04; C07K001-107	
WO 2000018789 OTHER SOURCE(S):	ECLA	C07K001/00A; C07K001/04; C07K007/64 CASREACT 132:265505; MARPAT 132:265505	<

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications, such as the synthesis of peptides and peptidomimetic compds., and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclization of organic mols., ligating chemical, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries which can be removed by

photolysis. Methods of synthesis of a linear or cyclic peptide, a C-terminal modified peptide, or of on-resin cyclization of a peptide mol., comprising the step of linking an amine nitrogen atom to an auxiliary compound of the invention, specific auxiliary compds., which may optionally be linked to a solid support, and kits for synthesis are disclosed and claimed. Thus, cyclo-[Ala-Phe-Leu-Pro-Ala] was prepared via on. resin cyclization reaction.

SUPPL. TERM: peptidomimetic cyclic peptide synthesis cyclization;

cyclization solid phase synthesis cyclic peptide

INDEX TERM: Peptides, preparation

ROLE: IMF (Industrial manufacture); SPN (Synthetic

preparation); PREP (Preparation)

(cyclic; solid phase synthesis of small cyclic peptides

via on-resin cyclization)

INDEX TERM: Solid phase synthesis

(peptide; solid phase synthesis of small cyclic peptides

via on-resin cyclization)

INDEX TERM: Cyclization

Peptidomimetics

(solid phase synthesis of small cyclic peptides via

on-resin cyclization)

INDEX TERM: 263144-32-7

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(q solid phase synthesis of small cyclic peptides via

on-resin cyclization)

INDEX TERM: 189031-42-3P 215923-20-9P

263144-08-7DP, resin bound 263144-09-8DP,

resin bound 263144-10-1DP, resin bound

263144-11-2DP, resin bound 263144-12-3P

263144-13-4DP, resin bound 263144-14-5DP,

resin bound 263144-21-4P 263144-23-6P

263144-39-4DP, resin bound 263144-40-7DP,

resin bound 263144-41-8DP, resin bound

263144-42-9DP, resin bound 263144-43-0DP,

265144-42-50F, resin bound 265144-45-00.

resin bound 263144-44-1DP, resin bound

263144-45-2DP, resin bound 263144-46-3DP,

resin bound 263144-47-4DP, resin bound

263144-48-5DP, resin bound 263144-49-6DP,

resin bound 263144-50-9DP, resin bound

263144-51-0DP, resin bound 263144-52-1DP,

resin bound 263144-53-2DP, resin bound

263144-54-3DP, resin bound 263144-55-4DP,

resin bound 263144-56-5DP, resin bound

263144-57-6DP, resin bound 263144-58-7DP,

resin bound 263144-59-8DP, resin bound 263144-60-1DP, resin bound 263146-86-7DP,

resin bound

ROLE: IMF (Industrial manufacture); SPN (Synthetic

preparation); PREP (Preparation)

(solid phase synthesis of small cyclic peptides via

on-resin cyclization)

INDEX TERM: 97-51-8 1694-92-4 16855-08-6

23081-03-0 35661-39-3D, trityl resin bound

89040-08-4 252667-07-5D, resin bound

252667-11-1 263144-02-1

263144-04-3D, resin bound 263144-05-4D,

resin bound 263144-25-8 263144-26-9

263144-27-0 263144-28-1

263144-29-2 263144-30-5 263144-31-6 263144-33-8 263144-34-9 263144-35-0 263144-36-1 263144-37-2 263144-38-3

ROLE: RCT (Reactant); RACT (Reactant or reagent) (solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM:

252667-08-6P 252667-09-7P 252667-10-0P 252667-12-2P 252667-14-4P 252667-19-9P

263144-00-9P 263144-01-0DP, resin bound 263144-03-2P 263144-06-5DP, resin bound 263144-07-6DP, resin bound 263144-15-6P

263144-18-9P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(solid phase synthesis of small cyclic peptides via

on-resin cyclization)

INDEX TERM:

252667-17-7P 252667-20-2P

252667-21-3P

ROLE: SPN (Synthetic preparation); PREP (Preparation) (solid phase synthesis of small cyclic peptides via on-resin cyclization)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD.

REFERENCE(S):

- (1) Anon; Angew Chem, Int Ed 1999, V38(7), P937 HCAPLUS
- (2) Anon; Histochem J 1987, V19(9), P476 HCAPLUS
- (3) Anon; J Histochem Cytochem 1979, V27(11), P1494 HCAPLUS
- (4) Anon; Science 1997, V275(5302), P945 HCAPLUS
- (5) Anon; Tetrahedron 1974, V30(20), P3677 HCAPLUS
- (6) Merck Frosst Canada Inc; CA 2154214 A 1996 HCAPLUS

IT 263144-32-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(g solid phase synthesis of small cyclic peptides via on-resin cyclization)

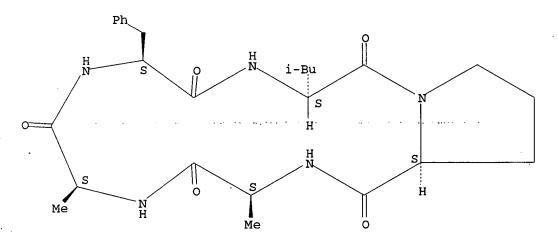
RN 263144-32-7 HCAPLUS

CN 1,4-Cyclopentadiene-1-carboxaldehyde, 5-hydroxy-2-nitro- (9CI) (CA INDEX NAME)

189031-42-3P 215923-20-9P 263144-08-7DP, resin bound 263144-09-8DP, resin bound 263144-10-1DP, resin bound 263144-11-2DP, resin bound 263144-12-3P 263144-13-4DP, resin bound 263144-14-5DP, resin bound 263144-21-4P 263144-23-6P 263144-39-4DP, resin bound 263144-41-8DP, resin bound 263144-42-9DP, resin bound 263144-43-0DP, resin bound 263144-44-1DP, resin bound 263144-45-2DP, resin

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bound 263144-46-3DP, resin bound 263144-47-4DP, resin
    bound 263144-48-5DP, resin bound 263144-49-6DP, resin
    bound 263144-50-9DP, resin bound 263144-51-0DP, resin
    bound 263144-52-1DP, resin bound 263144-53-2DP, resin
    bound 263144-54-3DP, resin bound 263144-55-4DP, resin
    bound 263144-56-5DP, resin bound 263144-57-6DP, resin
    bound 263144-58-7DP, resin bound 263144-59-8DP, resin
    bound 263144-60-1DP, resin bound 263146-86-7DP, resin
    bound
    RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (solid phase synthesis of small cyclic peptides via on-resin
        cyclization)
    189031-42-3 HCAPLUS
RN
    Cyclo(L-alanyl-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl) (9CI) (CA INDEX
CN
```

Absolute stereochemistry.



RN 215923-20-9 HCAPLUS
CN L-Valine, L-threonylglycyl-L-tyrosyl-L-isoleucyl-L-lysyl-L-threonyl-Lα-glutamyl-L-leucyl-L-isoleucyl-L-seryl- (9CI) (CA INDEX NAME)

PAGE 1-A

RN 263144-08-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-09-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-10-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-11-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-12-3 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

RN 263144-13-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

RN 263144-14-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-6-

nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-21-4 HCAPLUS

CN Cyclo[L-arginyl-L-phenylalanylglycyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-tyrosyl] (9CI) (CA INDEX NAME)

RN 263144-23-6 HCAPLUS

CN Cyclo(L-arginyl-L-phenylalanylglycyl-L-tyrosyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

والأراز والمراوية والمراوية والمحمول والمستموم والأراز والمرام والمراوي والمراوي

RN 263144-39-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[[2-[[(2S)-2-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]thio]-5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-40-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-alanine (9CI) (CA INDEX NAME)

RN 263144-41-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-alanine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-42-9 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-43-0 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.......

RN 263144-44-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[[2-[[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]thio]5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-45-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanine (9CI) (CA INDEX NAME)

RN

263144-46-3 HCAPLUS L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN263144-47-4 HCAPLUS

L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-mercapto-5-CNnitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-48-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-49-6 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-50-9 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[[2-[[(2S)-2-[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]thio]-5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

263144-51-0 HCAPLUS RN

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[[2-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutoxy]-5nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-52-1 HCAPLUS

L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1dimethylethoxy)carbonyl]-L-valine (9CI) (CA INDEX NAME)

RN 263144-53-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-54-3 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-55-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-56-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-57-6 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-58-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-59-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-60-1 HCAPLUS

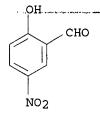
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263146-86-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

IT97-51-8 1694-92-4 16855-08-6 23081-03-0 35661-39-3D, trityl resin bound 89040-08-4 252667-07-5D, resin bound 252667-11-1 263144-02-1 263144-04-3D, resin bound 263144-05-4D, resin bound 263144-25-8 263144-26-9 263144-27-0 263144-28-1 263144-29-2 263144-30-5 263144-31-6 263144-33-8 263144-34-9 263144-35-0 263144-36-1 263144-37-2 263144-38-3 RL: RCT (Reactant); RACT (Reactant or reagent) (solid phase synthesis of small cyclic peptides via on-resin cyclization) 97-51-8 HCAPLUS RN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME) CN



RN 1694-92-4 HCAPLUS CN Benzenesulfonyl chloride, 2-nitro- (9CI) (CA INDEX NAME)

RN 16855-08-6 HCAPLUS CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME) CHO NO2

RN 23081-03-0 HCAPLUS

CN Benzaldehyde, 2,2'-dithiobis[5-nitro-(8CI, 9CI) (CA INDEX NAME)

RN 35661-39-3 HCAPLUS

CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 89040-08-4 HCAPLUS

CN Ethanol, 2-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

CH₂-S-CH₂-CH₂-OH

RN 252667-07-5 HCAPLUS

CN L-Alanine, L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

RN 252667-11-1 HCAPLUS

CN L-Alanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-phenylalanyl-L-leucyl-L-prolyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN -- 263144-02-1 HCAPLUS

CN Acetaldehyde, [[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

$$_{\mathrm{Me}}$$
 CH $_{2}$ -S-CH $_{2}$ -CHO

RN 263144-04-3 HCAPLUS

CN L-Phenylalanine, N-[(2-chloro-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

RN 263144-05-4 HCAPLUS

CN L-Phenylalanine, N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-25-8 HCAPLUS

CN 4-Pyridinecarboxaldehyde, 3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

RN 263144-26-9 HCAPLUS

CN 3-Pyridinecarboxaldehyde, 1,2-dihydro-4-nitro-2-oxo- (9CI) (CA INDEX NAME)

RN 263144-27-0 HCAPLUS

CN 3-Pyridinecarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)

RN 263144-28-1 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

RN 263144-29-2 HCAPLUS

CN Cyclohexanecarboxaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)

RN 263144-30-5 HCAPLUS

CN 2H-Thiopyran-4-carboxaldehyde, tetrahydro-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

RN 263144-31-6 HCAPLUS

CN 2H-Pyran-4-carboxaldehyde, tetrahydro-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

RN 263144-33-8 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)

HO CHO

RN 263144-34-9 HCAPLUS

CN 3-Furancarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)

NO₂

RN 263144-35-0 HCAPLUS

CN 3-Thiophenecarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)

NO2

RN 263144-36-1 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)

O₂N CHO

RN 263144-37-2 HCAPLUS

CN 3-Furancarboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)

O₂N CHO

RN 263144-38-3 HCAPLUS

CN 3-Thiophenecarboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)

IT 252667-08-6P 252667-09-7P 252667-10-0P 252667-12-2P 252667-14-4P 252667-19-9P 263144-00-9P 263144-01-0DP, resin bound 263144-07-6DP, resin bound 263144-07-6DP, resin bound 263144-18-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid phase synthesis of small cyclic peptides via on-resin cyclization)

RN 252667-08-6 HCAPLUS

CN L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252667-09-7 HCAPLUS

CN L-Alanine, N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

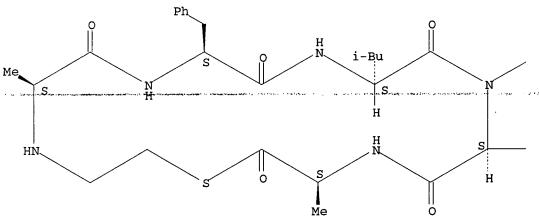
RN 252667-10-0 HCAPLUS

CN L-Alanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

· Absolute stereochemistry.

RN 252667-12-2 HCAPLUS

CN L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-, $(5\rightarrow 1)$ -thiolactone (9CI) (CA INDEX NAME)



PAGE 1-B



RN 252667-14-4 HCAPLUS

CN Cyclo[L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252667-19-9 HCAPLUS

CN Cyclo[L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-00-9 HCAPLUS

CN Glycine, N-(2-mercaptoethyl)-L-tyrosyl-L-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-01-0 HCAPLUS

CN Glycine, O-butyl-L-tyrosyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-phenylalanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 263144-03-2 HCAPLUS

CN Glycine, N-[(2-mercapto-5-nitrophenyl)methyl]-L-tyrosyl-L-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-06-5 HCAPLUS

CN L-Phenylalanine, N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-07-6 HCAPLUS

CN L-Phenylalanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263144-15-6 HCAPLUS

CN L-Valine, L-leucyl-N-[[2-nitro-6-[(L-threonylglycyl-L-tyrosyl-L-isoleucyl-

Searched by Paul Schulwitz 571-272-2527

L-lysyl-L-threonyl-L- α -glutamyl)oxy]phenyl]methyl]-L-isoleucyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

PAGE 2-B

RN 263144-18-9 HCAPLUS

CN Cyclo[L-alanyl-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-phenylalanyl-L-phenylalanyl-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 252667-17-7P 252667-20-2P 252667-21-3P

i-Bu

Rb: SPN (Synthetic preparation); PREP (Preparation)

(solid phase synthesis of small cyclic peptides via on-resin cyclization)

RN 252667-17-7 HCAPLUS

CN L-Alaninamide, 1,1'-(dithiodi-2,1-ethanediyl)bis[L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

0

Searched by Paul Schulwitz 571-272-2527

Me

PAGE 1-B

RN 252667-20-2 HCAPLUS

CN ...L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-, (1-)-disulfide with N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-L-alaninamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 252667-21-3 HCAPLUS

CN L-Alanine, 1,1'-(dithiodi-2,1-ethanediyl)bis[L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B